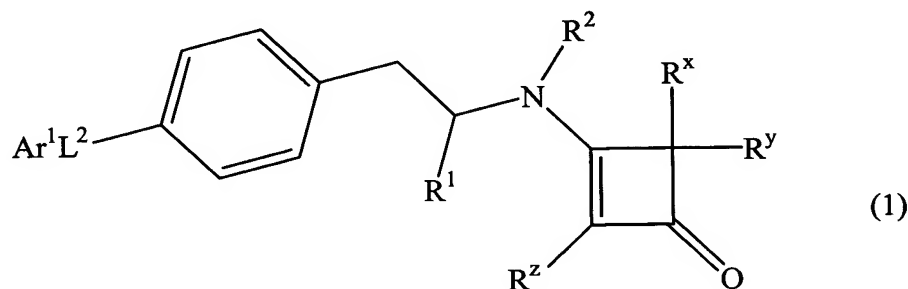


This listing of claims will replace all prior versions, and listings, of claims in the application.

**Listing of Claims:**

1. (currently amended) A process for the preparation of a compound of formula (1):



wherein:

Ar<sup>1</sup> is an optionally substituted aromatic or heteroaromatic group;

L<sup>2</sup> is a linker group selected from -N(R<sup>4</sup>)- [~~where R<sup>4</sup> is a hydrogen atom or an~~  
~~optionally substituted straight or branched C<sub>1-6</sub>alkyl group~~], -CON(R<sup>4</sup>)- and  
 -S(O)<sub>2</sub>N(R<sup>4</sup>)-;

R<sup>4</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl group;

R<sup>1</sup> is a carboxylic acid (-CO<sub>2</sub>H) or a derivative or biostere thereof an acyclic or cyclic carboxylic acid ester, an amide, tetrazole, phosphonic acid, phosphinic acid, sulphonic acid, sulphinic acid, boronic acid, or an acylsulphonamide group;

R<sup>2</sup> is a hydrogen atom or a C<sub>1-6</sub>alkyl group;

R<sup>x</sup>, R<sup>y</sup> and R<sup>z</sup>, which may be the same or different, are each an atom or group -L<sup>1</sup>(Alk<sup>1</sup>)<sub>n</sub>(R<sup>3</sup>)<sub>v</sub> in which, or R<sup>z</sup> is -L<sup>1</sup>(Alk<sup>1</sup>)<sub>n</sub>(R<sup>3</sup>)<sub>v</sub> and R<sup>x</sup> and R<sup>y</sup> are joined together to form an optionally substituted spiro linked cycloaliphatic or heterocycloaliphatic group;

L<sup>1</sup> is a covalent bond or a linker atom or group an -O-, -S-, or -Se- atom or an -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>), -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- group;

R<sup>8</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl group;

Alk<sup>1</sup> is an optionally substituted aliphatic chain or an optionally substituted heteroaliphatic chain containing one to four -O- or -S- atoms or -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>), -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- groups that interrupt or are at the terminus of the aliphatic chain;

R<sup>3</sup> is a hydrogen or halogen atom or group selected from ~~-OR<sup>3a</sup> [where R<sup>3a</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl group or C<sub>3-8</sub>cycloalkyl group]~~, -SR<sup>3a</sup>, -CN and an optionally substituted cycloaliphatic, heterocycloaliphatic, polycycloaliphatic, heteropolycycloaliphatic, aromatic or heteroaromatic group;

R<sup>3a</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl group or C<sub>3-8</sub>cycloalkyl group;

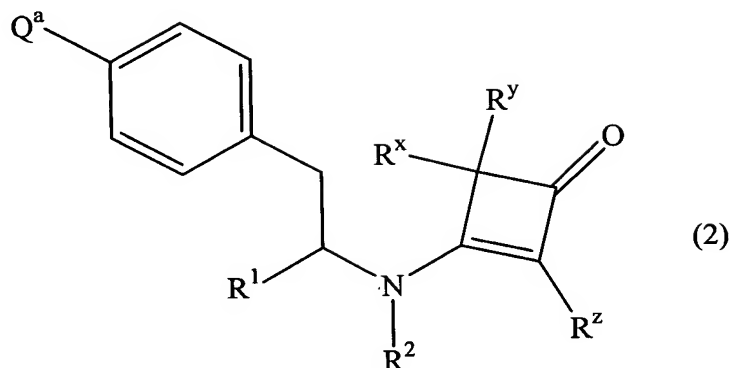
n is zero or the integer 1; and

v is the integer 1, 2 or 3;

provided that when n is zero and L<sup>1</sup> is a covalent bond, v is the integer 1;

~~or R<sup>z</sup> is an atom or group as previously defined and R<sup>x</sup> and R<sup>y</sup> are joined together to form an optionally substituted spiro-linked cycloaliphatic or heterocycloaliphatic group;~~  
and the salts, solvates, hydrates and N-oxides thereof;

which comprises reacting a compound of formula (2):



wherein:

$Q^a$  is a group  $-N(R^4)H$ ;

and the salts, solvates, hydrates and N-oxides thereof;

with a compound  $Ar^1W$  wherein

$W$  is a group selected from  $X^1$  (~~wherein  $X^1$  is a leaving atom or group~~),  $-COX^2$

(~~wherein  $X^2$  is a halogen atom or a -OH group~~) and

$-SO_2X^3$  (~~in which  $X^3$  is a halogen atom~~);

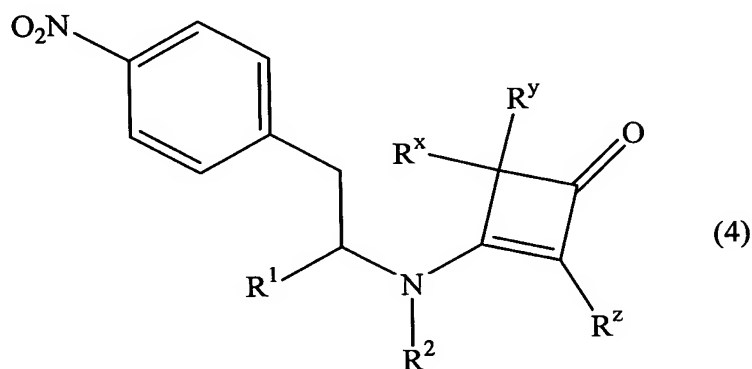
$X^1$  is a leaving atom or group;

$X^2$  is a halogen atom or a -OH group; and

$X^3$  is a halogen atom.

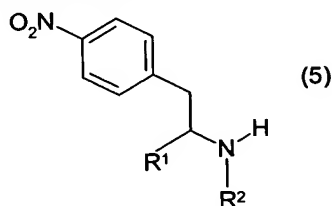
2. (original) A process according to Claim 1 wherein the reaction is carried out in a solvent in the presence of an acid when  $W$  is the group  $X^1$ .
3. (previously presented) A process according to Claim 2 wherein the solvent is selected from an alcohol, ether, acetic acid, water, acetonitrile, substituted amide and ester.
4. (original) A process according to Claim 2 wherein the reaction is carried out in an alcohol in the presence of an acid catalyst.

5. (original) A process according to Claim 1 wherein the reaction is carried out in the presence of a base, an organic amine or a cyclic amine and an organic solvent when W is the group  $\text{COX}^2$  and  $\text{X}^2$  is a halogen atom.
6. (previously presented) A process according to Claim 5 wherein the organic solvent is selected from a halogenated hydrocarbon, a dipolar aprotic solvent, an ether and an ester.
7. (original) A process according to Claim 1 wherein the reaction is carried out in the presence of a condensing agent and a halogenated hydrocarbon, dipolar aprotic or an ether solvent when W is the group  $\text{CO}_2\text{H}$ .
8. (original) A process according to Claim 1 wherein the reaction is carried out in the presence of a base, an organic amine or a cyclic amine and a halogenated hydrocarbon, dipolar aprotic or an ether solvent when W is the group  $\text{SO}_2\text{X}^3$ .
9. (previously presented) A process according to claim 1 wherein the compound of formula (2) is prepared by reduction of a compound of formula (4):

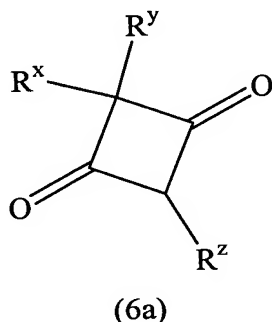


10. (original) A process according to Claim 9 wherein the reduction is carried out by catalytic hydrogenation or by chemical reduction.

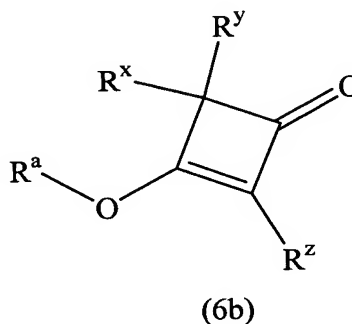
11. (previously presented) A process according to Claim 1 wherein  $R^4$  is a hydrogen atom.
12. (original) A process according to Claim 9 wherein the compound of formula (4) is prepared by reaction of a compound of formula (5):



with a compound of formula (6a) or (6b):



or



wherein  $R^a$  represents a C<sub>1</sub>-6alkyl group or a silyl group.

13. (original) A process according to Claim 12 wherein the reaction is carried out in the presence of an organic solvent.
14. (previously presented) A process according to Claim 13 wherein the solvent is selected from an aromatic hydrocarbon, a halogenated hydrocarbon and an ester.
15. (currently amended) A process according to Claim 1 wherein  $R^1$  is the group  $-\text{CO}_2\text{Alk}^7$ ; and

$\text{Alk}^7$  is a straight or branched optionally substituted C<sub>1</sub>-8alkyl group, an optionally substituted C<sub>2</sub>-8alkenyl group, an optionally substituted C<sub>2</sub>-8alkynyl group, an optionally substituted C<sub>3</sub>-8cycloalkyl group, an optionally substituted C<sub>3</sub>-8heterocycloalkyl group, an

optionally substituted C<sub>3</sub>-8cycloalkylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>3</sub>-8heterocycloalkylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxyC<sub>1</sub>-6alkyl group, an optionally substituted hydroxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylthioC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylsulfinylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylsulfonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkyloxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylthioC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylsulfinylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylsulfonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonylC<sub>1</sub>-6alkenyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonyloxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonyloxyC<sub>1</sub>-6alkenyl group, an optionally substituted C<sub>3</sub>-8cycloalkyloxycarbonyloxyC<sub>1</sub>-6alkyl group, an optionally substituted N-di-C<sub>1</sub>-8alkylaminoC<sub>1</sub>-8alkyl group, an optionally substituted N-C<sub>6</sub>-12aryl-N-C<sub>1</sub>-6alkylaminoC<sub>1</sub>-6alkyl group, an optionally substituted N-di-C<sub>1</sub>-8alkyl-carbamoylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylC<sub>1</sub>-6alkyl group, an optionally substituted heteroC<sub>6</sub>-10arylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>6</sub>-12aryl group, an optionally substituted C<sub>6</sub>-12aryloxyC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylthioC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylsulfinylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylsulfonylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>1</sub>-8alkanoyloxyC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>4</sub>-8imidoC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12aroyloxyC<sub>1</sub>-8alkyl group, or a triglyceride.

16. (canceled)

17. (currently amended) A process according to ~~Claim 16~~ Claim 1 which comprises hydrolysing a compound of formula (1) in which R<sup>1</sup> is -CO<sub>2</sub>Alk<sup>7</sup> and Alk<sup>7</sup> is a straight or branched optionally substituted C<sub>1</sub>-8alkyl group, an optionally substituted C<sub>2</sub>-8alkenyl group, an optionally substituted C<sub>2</sub>-8alkynyl group, an optionally substituted C<sub>3</sub>-8cycloalkyl

group, an optionally substituted C<sub>3-8</sub>heterocycloalkyl group, an optionally substituted C<sub>3-8</sub>cycloalkylC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>3-8</sub>heterocycloalkylC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkyloxyC<sub>1-6</sub>alkyl group, an optionally substituted hydroxyC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkylthioC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkylsulfinylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkylsulfonylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>3-8</sub>cycloalkyloxyC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>3-8</sub>cycloalkylthioC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>3-8</sub>cycloalkylsulfinylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>3-8</sub>cycloalkylsulfonylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkyloxycarbonylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkyloxycarbonylC<sub>1-6</sub>alkenyl group, an optionally substituted C<sub>1-6</sub>alkyloxycarbonyloxyC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>1-6</sub>alkyloxycarbonyloxyC<sub>1-6</sub>alkenyl group, an optionally substituted C<sub>3-8</sub>cycloalkyloxycarbonyloxyC<sub>1-6</sub>alkyl group, an optionally substituted N-di-C<sub>1-8</sub>alkylaminoC<sub>1-8</sub>alkyl group, an optionally substituted N-C<sub>6-12</sub>aryl-N-C<sub>1-6</sub>alkylaminoC<sub>1-6</sub>alkyl group, an optionally substituted N-di-C<sub>1-8</sub>alkyl-carbamoylC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>6-12</sub>arylC<sub>1-6</sub>alkyl group, an optionally substituted heteroC<sub>6-10</sub>arylC<sub>1-6</sub>alkyl group, an optionally substituted C<sub>6-12</sub>aryl group, an optionally substituted C<sub>6-12</sub>aryloxyC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>6-12</sub>arylthioC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>6-12</sub>arylsulfinylC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>6-12</sub>arylsulfonylC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>1-8</sub>alkanoyloxyC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>4-8</sub>imidoC<sub>1-8</sub>alkyl group, an optionally substituted C<sub>6-12</sub>aroyloxyC<sub>1-8</sub>alkyl group, or a triglyceride,

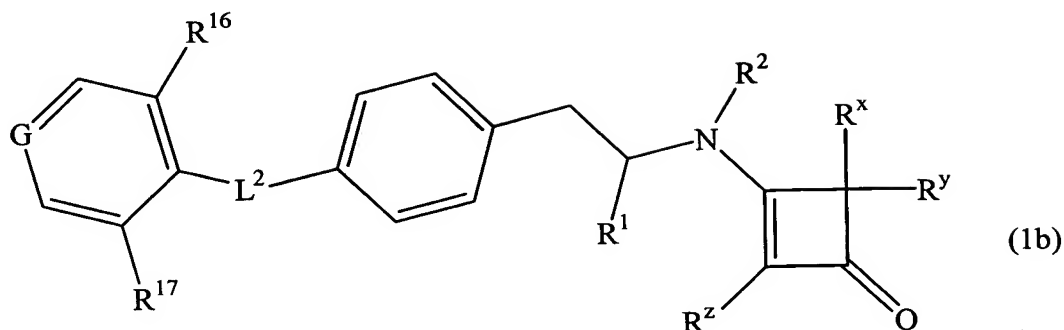
to produce a compound of formula (1) in which R<sup>1</sup> is -CO<sub>2</sub>H.

18. (currently amended) A process according to ~~Claim 16~~ Claim 1 which comprises esterifying a compound of formula (1) in which R<sup>1</sup> is -CO<sub>2</sub>H to produce a compound of formula (1) in which R<sup>1</sup> is -CO<sub>2</sub>Alk<sup>7</sup> and Alk<sup>7</sup> is a straight or branched optionally substituted C<sub>1-8</sub>alkyl group, an optionally substituted C<sub>2-8</sub>alkenyl group, an optionally substituted C<sub>2-</sub>

galkynyl group, an optionally substituted C<sub>3</sub>-8cycloalkyl group, an optionally substituted C<sub>3</sub>-8heterocycloalkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8heterocycloalkylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxyC<sub>1</sub>-6alkyl group, an optionally substituted hydroxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylthioC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylsulfinylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkylsulfonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkyloxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylthioC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylsulfinylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>3</sub>-8cycloalkylsulfonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonylC<sub>1</sub>-6alkenyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonyloxyC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>1</sub>-6alkyloxycarbonyloxyC<sub>1</sub>-6alkenyl group, an optionally substituted C<sub>3</sub>-8cycloalkyloxycarbonyloxyC<sub>1</sub>-6alkyl group, an optionally substituted N-di-C<sub>1</sub>-8alkylaminoC<sub>1</sub>-8alkyl group, an optionally substituted N-C<sub>6</sub>-12aryl-N-C<sub>1</sub>-6alkylaminoC<sub>1</sub>-6alkyl group, an optionally substituted N-di-C<sub>1</sub>-8alkyl-carbamoylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylC<sub>1</sub>-6alkyl group, an optionally substituted heteroC<sub>6</sub>-10arylC<sub>1</sub>-6alkyl group, an optionally substituted C<sub>6</sub>-12aryl group, an optionally substituted C<sub>6</sub>-12aryloxyC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylthioC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylsulfinylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12arylsulfonylC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>1</sub>-8alkanoyloxyC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>4</sub>-8imidoC<sub>1</sub>-8alkyl group, an optionally substituted C<sub>6</sub>-12aroyloxyC<sub>1</sub>-8alkyl group, or a triglyceride.

19. (currently amended) A process according to Claim 1 for the preparation of compounds of formula (1b):





wherein

-G= is -CR<sup>18</sup>=, -N= or -N(O)=;

R<sup>16</sup>, R<sup>17</sup> and R<sup>18</sup>, which may be the same or different, are each a hydrogen atom or an atom or group -L<sup>3</sup>(Alk<sup>2</sup>)<sub>t</sub>L<sup>4</sup>(R<sup>5</sup>)<sub>u</sub>;

L<sup>3</sup> and L<sup>4</sup> are, independently, a covalent bond, an -O- or -S- atom, or a -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>)-, -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- group;

R<sup>8</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl group;

t is zero or the integer 1;

u is an integer 1, 2 or 3;

Alk<sup>2</sup> is an optionally substituted aliphatic chain or an optionally substituted heteroaliphatic chain containing one to four -O- or -S- atoms or -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>)-, -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- groups that interrupt or are at the terminus of the aliphatic chain;

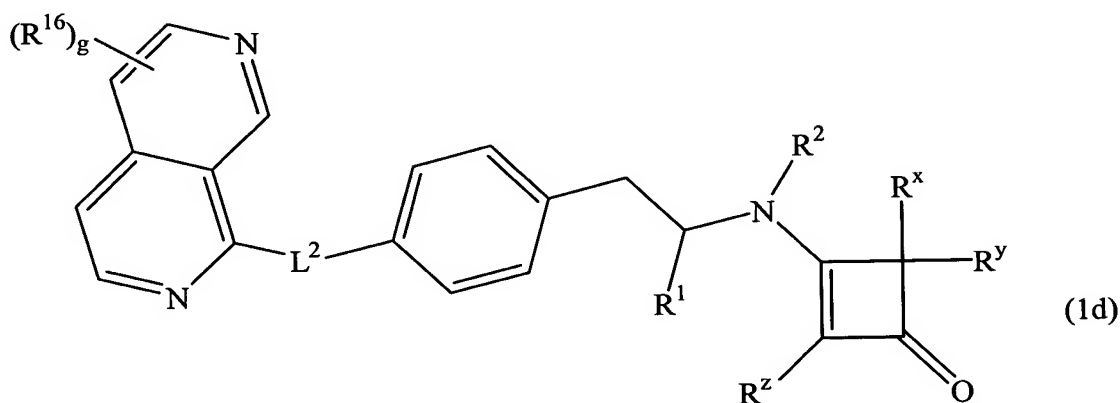
R<sup>5</sup> is a hydrogen or halogen atom or an optionally substituted C<sub>1</sub>-6alkyl, optionally substituted C<sub>3</sub>-8cycloalkyl, -OR<sup>6</sup>, -SR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NO<sub>2</sub>, -CN, -CO<sub>2</sub>R<sup>6</sup>, -SO<sub>3</sub>H, -SOR<sup>6</sup>, -SO<sub>2</sub>R<sup>6</sup>, -SO<sub>3</sub>R<sup>6</sup>, -OCO<sub>2</sub>R<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -OCONR<sup>6</sup>R<sup>7</sup>, -CSNR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -OCOR<sup>6</sup>,

-N(R<sup>6</sup>)COR<sup>7</sup>, -N(R<sup>6</sup>)CSR<sup>7</sup>, -SO<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>), -N(R<sup>6</sup>)SO<sub>2</sub>R<sup>7</sup>, N(R<sup>6</sup>)CON(R<sup>7</sup>)(R<sup>19</sup>),  
-N(R<sup>6</sup>)CSN(R<sup>7</sup>)(R<sup>19</sup>), or -N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>7</sup>)(R<sup>19</sup>) group; and

R<sup>6</sup>, R<sup>7</sup>, and R<sup>19</sup> are, independently, a hydrogen atom or an optionally substituted C<sub>1</sub>-  
6alkyl or C<sub>3</sub>-8cycloalkyl group;

provided that when t is zero and each of L<sup>3</sup> and L<sup>4</sup> is a covalent bond, then u is the  
integer 1 and R<sup>5</sup> is other than a hydrogen atom;  
and the salts, solvates, hydrates and N-oxides thereof.

20. (currently amended) A process according to Claim 1 for the preparation of  
compounds of formula (1d):



wherein

g is the integer 1, 2, 3 or 4;

~~R<sup>16</sup>, is an atom or group~~ -L<sup>3</sup>(Alk<sup>2</sup>)<sub>t</sub>L<sup>4</sup>(R<sup>5</sup>)<sub>u</sub>;

L<sup>3</sup> and L<sup>4</sup> are, independently, a covalent bond, an -O- or -S- atom, or a -C(O)-,  
-C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>)-,  
-N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-,  
-N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- group;

R<sup>8</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl  
group;

t is zero or the integer 1;

u is an integer 1, 2 or 3;

Alk<sup>2</sup> is an optionally substituted aliphatic chain or an optionally substituted heteroaliphatic chain containing one to four -O- or -S- atoms or -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>), -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- groups that interrupt or are at the terminus of the aliphatic chain;

R<sup>5</sup> is a hydrogen or halogen atom or an optionally substituted C<sub>1</sub>-6alkyl, optionally substituted C<sub>3</sub>-8cycloalkyl, -OR<sup>6</sup>, -SR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -NO<sub>2</sub>, -CN, -CO<sub>2</sub>R<sup>6</sup>, -SO<sub>3</sub>H, -SOR<sup>6</sup>, -SO<sub>2</sub>R<sup>6</sup>, -SO<sub>3</sub>R<sup>6</sup>, -OCO<sub>2</sub>R<sup>6</sup>, -CONR<sup>6</sup>R<sup>7</sup>, -OCONR<sup>6</sup>R<sup>7</sup>, -CSNR<sup>6</sup>R<sup>7</sup>, -COR<sup>6</sup>, -OCOR<sup>6</sup>, -N(R<sup>6</sup>)COR<sup>7</sup>, -N(R<sup>6</sup>)CSR<sup>7</sup>, -SO<sub>2</sub>N(R<sup>6</sup>)(R<sup>7</sup>), -N(R<sup>6</sup>)SO<sub>2</sub>R<sup>7</sup>, N(R<sup>6</sup>)CON(R<sup>7</sup>)(R<sup>19</sup>), -N(R<sup>6</sup>)CSN(R<sup>7</sup>)(R<sup>19</sup>), or -N(R<sup>6</sup>)SO<sub>2</sub>N(R<sup>7</sup>)(R<sup>19</sup>) group; and

R<sup>6</sup>, R<sup>7</sup>, and R<sup>19</sup> are, independently, a hydrogen atom or an optionally substituted C<sub>1</sub>-6alkyl or C<sub>3</sub>-8cycloalkyl group;

provided that when t is zero and each of L<sup>3</sup> and L<sup>4</sup> is a covalent bond, then u is the integer 1 and R<sup>5</sup> is other than a hydrogen atom;

and the salts, solvates, hydrates and N-oxides thereof.

21. (previously presented) A process according to Claim 1 for the preparation of:

ethyl (2S)-2-[(2-bromo-3-oxospiro[3.5]non-1-en-1-yl)amino]-3-{4-[(3,5-dichloroisonicotinoyl)amino]phenyl}propanoate;  
and the salts, solvates, hydrates and N-oxides thereof.

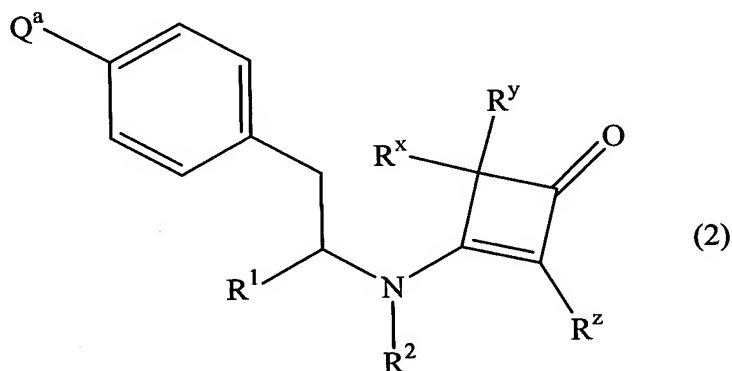
22. (previously presented) A process according to Claim 1 for the preparation of:

ethyl (2S)-2-(2-bromo-3-oxo-spiro[3.5]non-1-en-1-ylamino)-3-[4-([2,7]naphthyridin-1-ylamino)phenyl]propanoate;  
and the salts, solvates, hydrates and N-oxides thereof.

23. (previously presented) A process according to Claim 1 for the preparation of:  
 ethyl (2*S*)-2-[(2-isopropylsulfanyl-3-oxo-7-oxa-spiro[3.5]non-1-en-1-yl)amino]-3-[4-  
 ([2,7]naphthyridin-1-ylamino)phenyl]propanoate;  
 and the salts, solvates, hydrates and N-oxides thereof.

24. (previously presented) A process according to Claim 1 for the preparation of:  
 2-hydroxyethyl (2*S*)-2-(2-bromo-3-oxo-spiro[3.5]non-1-en-1-ylamino)-3-{4-[(3,5-  
 dichloroisonicotinoyl)amino]phenyl}propanoate;  
 and the salts, solvates, hydrates and N-oxides thereof.

25. (currently amended) A compound of formula (2):



wherein:

$R^1$  is a carboxylic acid ( $-\text{CO}_2\text{H}$ ) or ~~a derivative or biostere thereof~~ an acyclic or cyclic carboxylic acid ester, an amide, tetrazole, phosphonic acid, phosphinic acid, sulphonic acid, sulphinic acid, boronic acid, or an acylsulphonamide group;

$R^2$  is a hydrogen atom or a  $\text{C}_{1-6}$ alkyl group;

$R^x$ ,  $R^y$  and  $R^z$ , which may be the same or different, are each ~~an atom or group~~  
 ~~$-\text{L}^1(\text{Alk}^1)_n(\text{R}^3)_v$  in which~~, or  $R^z$  is  $-\text{L}^1(\text{Alk}^1)_n(\text{R}^3)_v$  and  $R^x$  and  $R^y$  are joined together to form an optionally substituted spiro linked cycloaliphatic or heterocycloaliphatic group;

$\text{L}^1$  is a covalent bond or ~~a linker atom or group~~ an  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{Se}-$  atom or an  $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{OC}(\text{O})-$ ,  $-\text{C}(\text{S})-$ ,  $-\text{S}(\text{O})-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{N}(\text{R}^8)-$ ,  $-\text{CON}(\text{R}^8)-$ ,  $-\text{OC}(\text{O})\text{N}(\text{R}^8)-$ ,  $-\text{CSN}(\text{R}^8)-$ ,

-N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- group;

R<sup>8</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl group;

Alk<sup>1</sup> is an optionally substituted aliphatic chain or an optionally substituted heteroaliphatic chain containing one to four -O- or -S- atoms or -C(O)-, -C(O)O-, -OC(O)-, -C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>), -N(R<sup>8</sup>)CO-, -N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-, -N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- groups that interrupt or are at the terminus of the aliphatic chain;

R<sup>3</sup> is a hydrogen or halogen atom or group selected from -OR<sup>3a</sup> [where R<sup>3a</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl group or C<sub>3</sub>-8cycloalkyl group], -SR<sup>3a</sup>, -CN and an optionally substituted cycloaliphatic, heterocycloaliphatic, polycycloaliphatic, heteropolycycloaliphatic, aromatic or heteroaromatic group;

R<sup>3a</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl group or C<sub>3</sub>-8cycloalkyl group;

n is zero or the integer 1; and

v is the integer 1, 2 or 3;

provided that when n is zero and L<sup>1</sup> is a covalent bond, v is the integer 1;

~~or R<sup>z</sup> is an atom or group as previously defined and R<sup>x</sup> and R<sup>y</sup> are joined together to form an optionally substituted spiro-linked cycloaliphatic or heterocycloaliphatic group;~~

Q<sup>a</sup> is a group -N(R<sup>4</sup>)H;

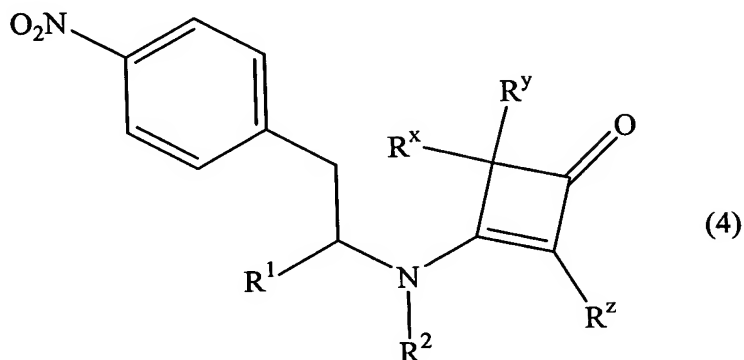
R<sup>4</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1</sub>-6alkyl group;

and the salts, solvates, hydrates and N-oxides thereof.

26. (original) A compound according to Claim 25 which is:

3-(4-aminophenyl)-2(S)-(3-oxo-7-oxaspiro[3.5]non-1-en-1-ylamino)-propionic acid hydroxyethyl ester.

27. (currently amended) A compound of formula (4):



wherein:

$R^1$  is a carboxylic acid ( $-\text{CO}_2\text{H}$ ) or ~~a derivative or biostere thereof~~ an acyclic or cyclic carboxylic acid ester, an amide, tetrazole, phosphonic acid, phosphinic acid, sulphonic acid, sulphinic acid, boronic acid, or an acylsulphonamide group;

$R^2$  is a hydrogen atom or a  $\text{C}_{1-6}$ alkyl group;

$R^x$ ,  $R^y$  and  $R^z$ , which may be the same or different, are each ~~an atom or group~~  $-\text{L}^1(\text{Alk}^1)_n(\text{R}^3)_v$  in which, or  $R^z$  is  $-\text{L}^1(\text{Alk}^1)_n(\text{R}^3)_v$  and  $R^x$  and  $R^y$  are joined together to form an optionally substituted spiro linked cycloaliphatic or heterocycloaliphatic group;

$\text{L}^1$  is a covalent bond or ~~a linker atom or group~~ an  $-\text{O}-$ ,  $-\text{S}-$ , or  $-\text{Se}-$  atom or an  $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{OC}(\text{O})-$ ,  $-\text{C}(\text{S})-$ ,  $-\text{S}(\text{O})-$ ,  $-\text{S}(\text{O})_2-$ ,  $-\text{N}(\text{R}^8)-$ ,  $-\text{CON}(\text{R}^8)-$ ,  $-\text{OC}(\text{O})\text{N}(\text{R}^8)-$ ,  $-\text{CSN}(\text{R}^8)-$ ,  $-\text{N}(\text{R}^8)\text{CO}-$ ,  $-\text{N}(\text{R}^8)\text{C}(\text{O})\text{O}-$ ,  $-\text{N}(\text{R}^8)\text{CS}-$ ,  $-\text{S}(\text{O})_2\text{N}(\text{R}^8)-$ ,  $-\text{N}(\text{R}^8)\text{S}(\text{O})_2-$ ,  $-\text{N}(\text{R}^8)\text{O}-$ ,  $-\text{ON}(\text{R}^8)-$ ,  $-\text{N}(\text{R}^8)\text{N}(\text{R}^8)-$ ,  $-\text{N}(\text{R}^8)\text{CON}(\text{R}^8)-$ ,  $-\text{N}(\text{R}^8)\text{CSN}(\text{R}^8)-$ , or  $-\text{N}(\text{R}^8)\text{SO}_2\text{N}(\text{R}^8)-$  group;

$\text{R}^8$  is a hydrogen atom or an optionally substituted straight or branched  $\text{C}_{1-6}$ alkyl group; ;

$\text{Alk}^1$  is an optionally substituted aliphatic chain or an optionally substituted heteroaliphatic chain containing one to four  $-\text{O}-$  or  $-\text{S}-$  atoms or  $-\text{C}(\text{O})-$ ,  $-\text{C}(\text{O})\text{O}-$ ,  $-\text{OC}(\text{O})-$ ,

-C(S)-, -S(O)-, -S(O)<sub>2</sub>-, -N(R<sup>8</sup>)-, -CON(R<sup>8</sup>)-, -OC(O)N(R<sup>8</sup>)-, -CSN(R<sup>8</sup>), -N(R<sup>8</sup>)CO-,  
-N(R<sup>8</sup>)C(O)O-, -N(R<sup>8</sup>)CS-, -S(O)<sub>2</sub>N(R<sup>8</sup>)-, -N(R<sup>8</sup>)S(O)<sub>2</sub>-, -N(R<sup>8</sup>)O-, -ON(R<sup>8</sup>)-,  
-N(R<sup>8</sup>)N(R<sup>8</sup>)-, -N(R<sup>8</sup>)CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CSN(R<sup>8</sup>)-, or -N(R<sup>8</sup>)SO<sub>2</sub>N(R<sup>8</sup>)- groups that  
interrupt or are at the terminus of the aliphatic chain;

R<sup>3</sup> is a hydrogen or halogen atom or group selected from -OR<sup>3a</sup> [~~where R<sup>3a</sup> is a  
hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl group or C<sub>3-8</sub>  
cycloalkyl group~~], -SR<sup>3a</sup>, -CN and an optionally substituted cycloaliphatic,  
heterocycloaliphatic, polycycloaliphatic, heteropolycycloaliphatic, aromatic or  
heteroaromatic group;

R<sup>3a</sup> is a hydrogen atom or an optionally substituted straight or branched C<sub>1-6</sub>alkyl  
group or C<sub>3-8</sub>cycloalkyl group;

n is zero or the integer 1; and

v is the integer 1, 2 or 3;

provided that when n is zero and L<sup>1</sup> is a covalent bond, v is the integer 1;

~~or R<sup>Z</sup> is an atom or group as previously defined and R<sup>X</sup> and R<sup>Y</sup> are joined together to form an  
optionally substituted spiro-linked cycloaliphatic or heterocycloaliphatic group;~~  
and the salts, solvates, hydrates and N-oxides thereof.

28. (original) A compound according to Claim 27 which is:

3-(4-nitrophenyl)-2(S)-(3-oxo-7-oxaspiro[3.5]non-1-en-1-ylamino)propionic acid  
hydroxyethyl ester.